Table 12. Characteristics of Non-Nucleoside Reverse Transcriptase Inhibitors (NNRTIs)

Generic Name (abbreviation)/ Trade Name	Formulation	Dosing Recommendations	Food Effect	Oral Bio-availability	Serum half-life	Elimination	Adverse Events
Delavirdine (DLV)/ Rescriptor®	100 mg tablets or 200 mg tablets	400 mg 3 times/day; four 100 mg tablets can be dispersed in ≥3 oz. of water to produce slurry; 200 mg tablets should be taken as intact tablets; separate dosing from buffered didanosine or antacids by 1 hour	Take without regard to meals	85%	5.8 hours	Metabolized by cytochrome P450 (3A inhibitor); 51% excreted in urine (<5% unchanged); 44% in feces	• Rash*; • Increased transaminase levels; • Headaches
Efavirenz (EFV)/ Sustiva®	50, 100, 200 mg capsules or 600 mg tablets	600 mg daily on an empty stomach, at or before bedtime	High-fat/high-caloric meals increase peak plasma concentrations of capsules by 39% and tablets by 79%; take on an empty stomach	Data not available	40–55 hours	Metabolized by cytochrome P450 (3A mixed inducer/ inhibitor); 14%–34% excreted in urine (glucuronidated metabolites, <1% unchanged); 16%–61% in feces.	• Rash*; • Central nervous system symptoms;† • Increased transaminase levels; • False-positive cannabinoid test; • Teratogenic in monkeys‡
Nevirapine (NVP)/ Viramune®	200 mg tablets or 50 mg/5 mL oral suspension	200 mg daily for 14 days; thereafter, 200 mg by mouth two times/day	Take without regard to meals	> 90%	25–30 hours	Metabolized by cytochrome P450 (3A inducer); 80% excreted in urine (glucuronidated metabolites; < 5% unchanged); 10% in feces	• Rash including Stevens-Johnson Syndrome* • Symptomatic hepatitis, including fatal hepatic necrosis, have been reported‡

* During clinical trials, NNRTI was discontinued because of rash among 7% of patients taking nevirapine, 4.3% of patients taking delavirdine, and 1.7% of patients taking efavirenz. Rare cases of Stevens-Johnson Syndrome have been reported with the use of all three NNRTIs, the highest incidence seen with nevirapine use.

† Adverse events can include dizziness, somnolence, insomnia, abnormal dreams, confusion, abnormal thinking, impaired concentration, amnesia, agitation, depersonalization, hallucinations, and euphoria. Overall frequency of any of these symptoms associated with use of efavirenz was 52%, as compared with 26% among controls subjects; 2.6% of those persons on efavirenz discontinued the drug because of these symptoms; symptoms usually subside spontaneously after 2–4 weeks.

‡ Symptomatic, sometimes serious, and even fatal hepatic events (accompanied by rash in approximately 50% of cases) occur with significantly higher frequency in female patients with pre-nevirapine $CD4^+$ T cell counts >250 cells/mm^3 or in male patients with pre-nevirapine $CD4^+$ T cell counts >400 cells/mm^3. Nevirapine should not be initiated in these patients unless the benefit clearly outweighs the risk. This toxicity has not been observed when nevirapine is given as single doses to mothers or infants for prevention of mother-to-child HIV transmission.